Table 1

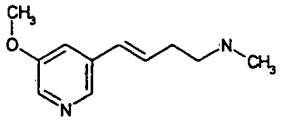
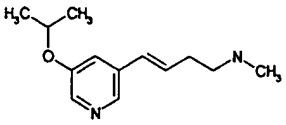
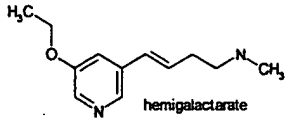
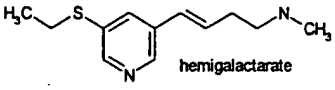
Compound	STRUCTURE	Ki	Cp max (ng/mL)	AUC 0-∞ (h.ng/mL)
1		9	18	23
2		5	19	30
3	 hemigalactarate	5	8	12
4	 hemigalactarate	28	21	24

Table 2

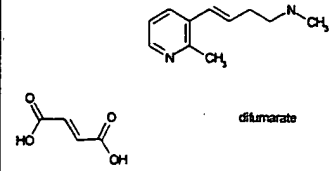
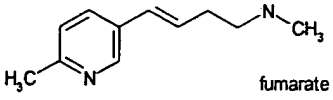
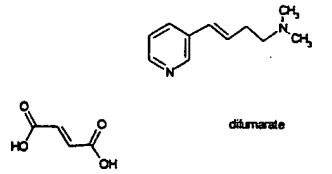
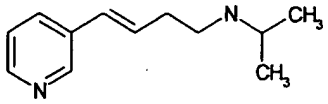
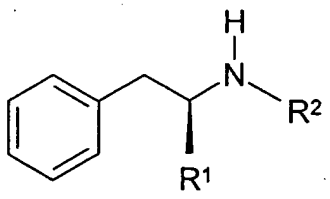
Compound	STRUCTURE	Ki
1	 difumarate	5585
2	 fumarate	598
3	 difumarate	2067
4		270000

Table 3

Improved Plasma Half-life for β-Phenethylamine Compounds Having an α-Methyl Group

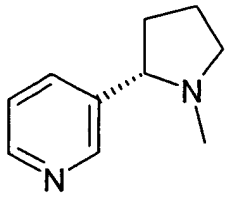


Species (route)	R^1	R^2	$t_{1/2}$
Dog (i.v.)	H	H	5-10 min
Dog (i.v.)	H	CH_3	5-10 min
Dog (i.v.)	CH_3	H	4.5 h
Human (i.v.)	CH_3	CH_3	12.2 h
Human (p.o.)	CH_3	CH_3	10.1 h

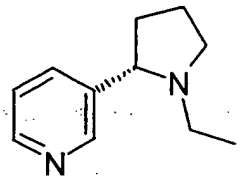
Table 4

Effects of Methyl Group Substitution of (S)-(-)-Nicotine on the α4β2 Nicotinic Pharmacophore

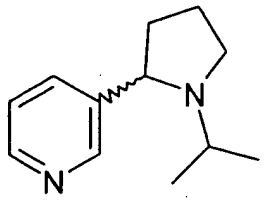
- **Methyl group α to N in (S)-(-)-nicotine**



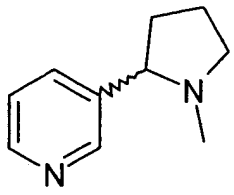
Ki = 2 nM



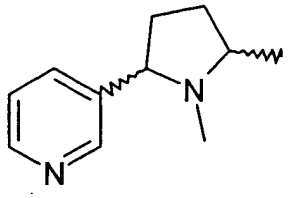
Ki = 52 nM



Ki = 1500 nM

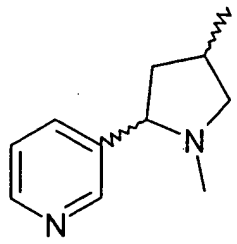


Ki = 43 nM (Literature value from M.B.)

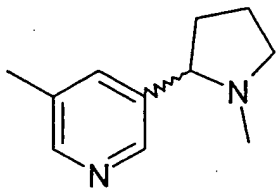


Ki = 6400 nM

- **Methyl groups not α to N in (S)-(-)-nicotine**

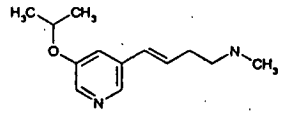
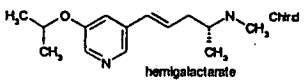
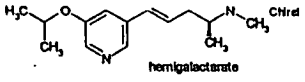


Ki = 91 nM



Ki = 2 nM

Table 5

Compound	STRUCTURE	Ki	α4β2 Emax	α4β2 EC50	Activity Ratio Emax/EC50	Cp max (ng/mL)	AUC 0-∞ (h.ng/mL)
1		5	59	379	0.15	19	30
2	 hemigalactarate	62	14	88	0.16	28	50
3	 hemigalactarate	11	57	220	0.26	39	123